

What is Claimed:

- 5 1. A method for radiolabeling a chelator-conjugated protein or peptide with a therapeutic radioisotope for administration to a patient comprising
- (i) mixing the chelator-conjugated protein, ligand or peptide with a solution comprising the radioisotope or a salt thereof, and
- (ii) incubating the mixture for a sufficient amount of time under amiable conditions such that a radiolabeled protein or peptide having sufficient purity, specific activity and binding specificity is achieved such that the radiolabeled antibody may be administered directly to the patient without further purification.
- 10 2. The method of claim 1, wherein said therapeutic radioisotope is selected from the group consisting of alpha and beta emitters.
3. The method of claim 2, wherein said therapeutic radioisotope is a beta emitter.
4. The method of claim 3, wherein said beta emitter is  $^{90}\text{Y}$ .
- 15 5. The method of claim 1, wherein said protein is an antibody or antibody fragment.
6. The method of claim 4, wherein said sufficient incubation time is less than about eight minutes.
- 20 7. The method of claim 6, wherein said sufficient incubation time is between about 30 seconds to about five minutes.

8. The method of claim 1, wherein said chelator is a bifunctional chelator selected from the group consisting of MX-DTPA, phenyl-DTPA, benzyl-DTPA, CHX-DTPA, DOTA and derivatives thereof.
9. The method of claim 8, wherein said chelator is MX-DTPA.
- 5 10. The method of claim 4 wherein said amiable conditions refer to acceptable temperature, pH and buffer conditions.
11. The method of claim 10, wherein said acceptable temperature ranges from about 25°C to about 50°C.
12. The method of claim 10, wherein said acceptable pH ranges from about 3  
10 to about 6.
13. The method of claim 10, wherein said acceptable buffer is an acetate buffer.
14. The method of claim 13, wherein said buffer is sodium acetate is at a concentration of between about 10 and about 1000 mM.
- 15 15. The method of claim 10, where said acceptable buffer includes a benign radioprotectant.
16. The method of claim 15, wherein said benign radioprotectant is ascorbate.
17. The method of claim 1, wherein a level of radioincorporation of at least about 95% is achieved.

18. The method of claim 1, wherein said binding specificity is at least 70%.

19. The method of claim 5, wherein the antibody is labeled to a specific activity of at least 5 mCi/mg.

20. A kit for radiolabeling a chelator-conjugated protein or peptide with a therapeutic radioisotope for administration to a patient comprising

5 (i) a vial containing chelator-conjugated protein or peptide in an appropriate buffer,

(ii) a vial containing formulation buffer for stabilizing and administering the radiolabeled antibody to a patient, and

10 (iii) instructions for performing the radiolabeling procedure, such that when the chelator-conjugated protein or peptide is exposed to the radioisotope or a salt thereof for a sufficient amount of time under amiable conditions as recommended in said instructions, a radiolabeled protein or peptide having sufficient purity, specific activity and binding specificity is achieved such that the

15 radiolabeled antibody may be diluted to an appropriate concentration in said formulation buffer and administered directly to the patient without further purification.

21. The kit of claim 20, wherein said therapeutic radioisotope is an alpha or beta emitting radioisotope.

20 22. The kit of claim 21, wherein said therapeutic radioisotope is a beta emitter.

23. The kit of claim 22, wherein said beta emitter is  $^{90}\text{Y}$ .

24. The kit of claim 20, wherein said protein is an antibody or antibody fragment.

25. The kit of claim 23, wherein said sufficient incubation time is less than about eight minutes.
26. The kit of claim 25, wherein said sufficient incubation time is between about two to about five minutes.
- 5 27. The kit of claim 20, wherein said chelator is a bifunctional chelator selected from the group consisting of MX-DTPA, phenyl-DTPA, benzyl-DTPA, CHX-DTPA, DOTA and derivatives thereof.
28. The kit of claim 27, wherein said chelator is MX-DTPA.
- 10 29. The kit of claim 20 wherein said amiable conditions refer to acceptable temperature, pH and buffer conditions.
30. The kit of claim 29, wherein said acceptable temperature ranges from about 25°C to about 50°C.
31. The kit of claim 29, wherein said acceptable pH ranges from about 3 to about 6.
- 15 32. The kit of claim 29, wherein said acceptable buffer is an acetate buffer.
33. The kit of claim 32, wherein said buffer is sodium acetate is at a concentration of between about 10 and about 1000 mM.
34. The kit of claim 29, where said acceptable buffer includes a benign radioprotectant.

35. The kit of claim 34, wherein said benign radioprotectant is ascorbate.
36. The kit of claim 20, wherein a level of radioincorporation of at least about 95% is achieved.
37. The kit of claim 20, wherein said binding specificity is at least 70%.
- 5 38. The kit of claim 23, wherein the antibody is labeled to a specific activity of at least 5 mCi/mg.
39. The kit of claim 20 further comprising a vial of sterile buffer for adjusting the pH of the radioisotope.
40. The kit of claim 39 wherein said vial comprises an acetate buffer.
- 10 41. The kit of claim 20, wherein said formulation buffer contains physiological saline, a radioprotectant, and unconjugated chelator.
42. The kit of claim 41, wherein the radioprotectant is selected from the group consisting of human serum albumin (HSA), ascorbate, ascorbic acid, phenol, sulfites, glutathione, cysteine, gentisic acid, nicotinic acid, ascorbyl palmitate, 15 HOP(O)H<sub>2</sub>, glycerol, sodium formaldehyde sulfoxylate, Na<sub>2</sub>S<sub>2</sub>O<sub>5</sub>, Na<sub>2</sub>S<sub>2</sub>O<sub>3</sub>, and SO<sub>2</sub>.
43. The kit of claim 42 wherein the radioprotectant is ascorbate.
44. The kit of claim 43 wherein the concentration of ascorbate is about 1 to 100 mg/mL.

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